

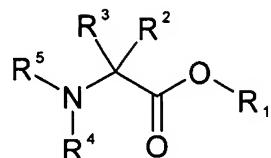
Amendments to the Claims:

This listing of the claims will replace all prior versions, and listings, of claims in the application.

Listing of the Claims:

Claims 1 - 4. Canceled.

5. (Currently Amended) A method for making a compound of
Formula 1



Formula 1

where R^1 , R^2 , and R^3 are the same or different and are selected from:

- (a) H, with the proviso that at least one of R^2 and R^3 is not H,
- (b) mono-, di-, and tri-substituted aryl, and
- (c) $\text{C}_1\text{-C}_{10}$ alkyl, $\text{C}_1\text{-C}_{10}$ substituted alkyl, $\text{C}_1\text{-C}_{10}$ substituted alkyl-aryl, $\text{C}_1\text{-C}_{10}$ substituted alkenyl, and $\text{C}_1\text{-C}_{10}$ substituted alkenyl aryl, and

R^2 and R^3 are the same or different and are selected from

- (a) H, with the proviso that at least one of R^2 and R^3 is not H, and
- (b) $\text{C}_1\text{-C}_{10}$ alkyl, $\text{C}_1\text{-C}_{10}$ substituted alkyl, $\text{C}_1\text{-C}_{10}$ substituted alkyl-aryl, $\text{C}_1\text{-C}_{10}$ substituted alkenyl, and $\text{C}_1\text{-C}_{10}$ substituted alkenyl aryl, and wherein R^2 and R^3 may be joined together to form a cyclic or heterocyclic ring having a ring size of 3 to 8 members,

where the substituents of (b) and (c) R^1 , R^2 , and R^3 are selected from:

H, chloro, fluoro, bromo, iodo, nitro, cyano, amino, $\text{C}_1\text{-C}_{10}$ alkyloxy, $\text{C}_1\text{-C}_{10}$ alkyloxy aryl, $\text{C}_1\text{-C}_{10}$ aminoalkyl, $\text{C}_1\text{-C}_{10}$ alkylamino, $\text{C}_1\text{-C}_{10}$ aminoalkyl aryl, $\text{C}_1\text{-C}_{10}$ aminocarbonyl, $\text{C}_1\text{-C}_{10}$ aminocarbonylalkyl-aryl, $\text{C}_1\text{-C}_{10}$ thioalkyl, $\text{C}_1\text{-C}_{10}$ thioalkyl-aryl, $\text{C}_1\text{-C}_{10}$ alkylsulfoxide, $\text{C}_1\text{-C}_{10}$ alkylsulfone, $\text{C}_1\text{-C}_{10}$

alkylsulfonamide, C₁-C₁₀ alkylsulfonamide aryl, C₁-C₁₀ alkylsufoxide aryl, C₁-C₁₀ alkylsulfone aryl, C₁-C₁₀ alkyl, aminocarbonylamino C₁-C₁₀ alkyl, C₁-C₁₀ alky aminocarbonylamino C₁-C₁₀ alkyl aryl, C₁-C₁₀ alkyloxycarbonyl C₁-C₁₀ alkyl, C₁-C₁₀ alkyloxycarbonyl C₁-C₁₀ alkyl aryl, C₁-C₁₀ carboxyalkyl, C₁-C₁₀ carboxyalkyl aryl, C₁-C₁₀ carbonylalkyl, C₁-C₁₀ carbonylalkyl aryl, C₁-C₁₀ alkyloxycarbonylamino alkyl, C₁-C₁₀ alkyloxycarbonylamino alkyl aryl, guanidino, C₁-C₁₀ alkylCOOH, C₁-C₁₀ alkylCONH₂, C₁-C₁₀ alkenylCOOH, C₁-C₁₀ alkenyl CONH₂, and

where the aryl group of (b) and (e) R¹, R², and R³ is selected from:

phenyl, biphenyl, 2-naphthyl, 1-naphthyl, pyridyl, furyl, thiophenyl, indolyl, isothiazolyl, imidazolyl, benzimidazolyl, tetrazolyl, pyrazinyl, pyrimidyl, quinolyl, isoquinolyl, benzofuryl, isobenzofuryl, benzothienyl, pyrazolyl, isoindolyl, purinyl, carbazolyl, isoxazolyl, thiazolyl, oxazolyl, benthiazolyl, benzoxazolyl; and

where R⁴ and R⁵ are the same or different and are selected from:

- (d) H, and
 - (e) an amine protecting group;
- said method comprising:

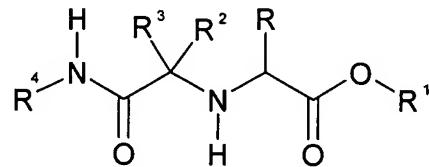
- (i) reacting

a amino acid/~~chiral auxiliary~~ of the formula NH₂-CHR-COOH
or a salt thereof, wherein R is an aryl group selected from the group consisting of phenyl, biphenyl, 1-naphthyl, and 2-naphthyl, wherein the aryl group of R is substituted with 1 to 5 substituents selected from the group consisting of hydrogen, cyano, amino, C₁-C₁₀ alkyl, C₁-C₁₀ alkyloxy, C₁-C₁₀ alkyloxyaryl, C₁-C₁₀ aminoalkyl, C₁-C₁₀ alkylamino, C₁-C₁₀ aminoalkyl aryl,

a convertible isocyanide, and

~~at least one of an aldehyde and a ketone~~ a compound of the formula R³-CO-R²,

in an alcohol or an alcohol-containing solvent to obtain a compound of Formula 2



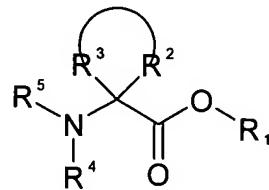
Formula 2

and

(ii) subjecting the compound of Formula 2 to aryl amine/hydrolysis, including catalytic hydrogenation conditions, and to amide cleavage/hydrolysis conditions, to obtain the compound of Formula 1.

6. (Currently Amended) The method of claim 5, where the amine protecting group of R⁴ or R⁵ is selected from phenyl, cyclohexenyl, cyclohexyl, t-butyl, 9-fluorenylmethylcarbonyl, tert-butyloxycarbonyl, allyloxycarbonyl, and benzyloxycarbonyl.

7. (Original) The method of claim 5, where the groups R² and R³ are joined together to form cyclic compound with a ring system as represented by Formula 1a

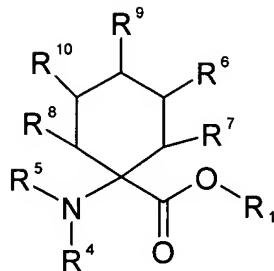


Formula 1a

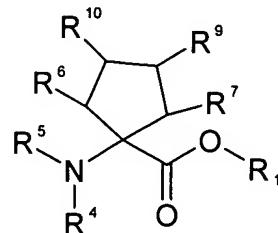
where the ring system has a ring size of 3 to 8 members.

8. (Original) The method of claim 7, where the ring system is selected from:

- (a) mono-, di-, tri-, or tetra-substituted cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, and cyclooctyl as shown in compounds of Formulae 1b and 1c

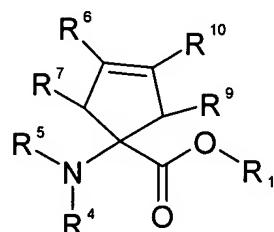


Formula 1b



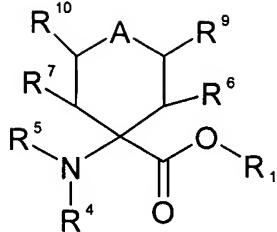
Formula 1c

- (b) mono-, di-, tri-, or tetra-substituted cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, and cyclooctenyl as shown in compounds of Formula 1d

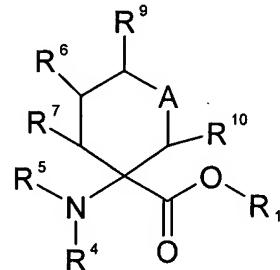


Formula 1d

- (c) mono-, di-, tri- or tetra-substituted heterocyclic compounds of Formulae 1e and 1f, where A is O, S, SO, SO₂, NH, SO₂NHR⁸, NCONHR⁸, NCOOR⁸, or NR⁸,



Formula 1e



Formula 1f

and where R⁶, R⁷, R⁸, R⁹ and R¹⁰ of Formulae 1a-1f are the same or different and are selected from:

- (d) H,
- (e) mono-, di-, and tri-substituted aryl, and
- (f) C₁-C₁₀ substituted alkyl, C₁-C₁₀ -substituted alkyl-aryl C₁-C₁₀ substituted alkenyl, and C₁-C₁₀ substituted alkenyl aryl,

where the substituents of (e) and (f) are selected from:

H, chloro, fluoro, bromo, iodo, nitro, cyano, amino, C₁-C₁₀ alkyloxy, C₁-C₁₀ alkyloxy aryl, C₁-C₁₀ aminoalkyl, C₁-C₁₀ alkylamino, C₁-C₁₀ aminoalkyl aryl, C₁-C₁₀ aminocarbonyl, C₁-C₁₀ aminocarbonylalkyl-aryl, C₁-C₁₀ thioalkyl, C₁-C₁₀ thioalkyl-aryl, C₁-C₁₀ alkylsulfoxide, C₁-C₁₀ alkylsulfone, C₁-C₁₀ alkylsulfonamide, C₁-C₁₀ alkylsulfonamide aryl, C₁-C₁₀ alkylsulfoxide aryl, C₁-C₁₀ alkylsulfone aryl, C₁-C₁₀ alkyl, aminocarbonylamino C₁-C₁₀ alkyl, C₁-C₁₀ alkyl aminocarbonylamino C₁-C₁₀ alkyl aryl, C₁-C₁₀ alkyloxycarbonyl C₁-C₁₀ alkyl, C₁-C₁₀ alkyloxycarbonyl C₁-C₁₀ alkyl aryl, C₁-C₁₀ carboxyalkyl, carboxyalkyl aryl, C₁-C₁₀ carbonylalkyl, C₁-C₁₀ carbonylalkyl aryl, C₁-C₁₀ alkyloxycarbonylamino alkyl, C₁-C₁₀ alkyloxycarbonylamino alkyl aryl, guanidino, C₁-C₁₀ alkylCOOH, C₁-C₁₀ alkylCONH₂, C₁-C₁₀ alkenylCOOH, C₁-C₁₀ alkenyl CONH₂,

and where the aryl group of (e) and (f) are selected from:

phenyl, biphenyl, 2-naphthyl, 1-naphthyl, pyridyl, furyl, thiophenyl, indolyl, isothiazolyl, imidazolyl, benzimidazolyl, tetrazolyl, pyrazinyl, pyrimidyl, quinolyl, isoquinolyl, benzofuryl, isobenzofuryl, benzothienyl, pyrazolyl, isoindolyl,

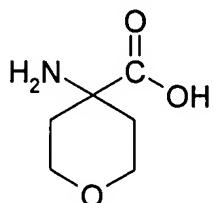
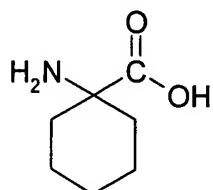
purinyl, carbazolyl, isoxazolyl, thiazolyl, oxazolyl, benthiazolyl, and benzoxazolyl.

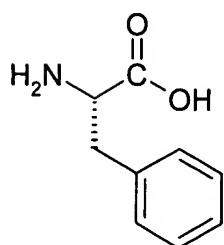
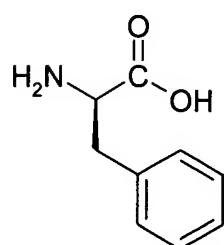
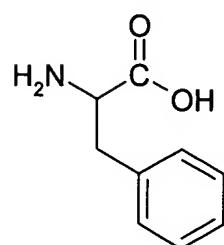
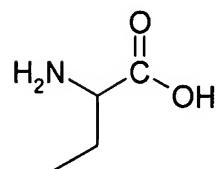
9. (Currently Amended) The method of claim 5, where the amino acid/~~chiral auxiliary~~ is phenyl glycine, the convertible isocyanide is ~~isocyanide cyclohexenyl, tert-butyl, cyclohexyl, phenyl, or 2-(tert-butyldimethylsilyloxy methyl) phenyl isocyanides~~, the alcohol is methanol, ~~ethanol, or isopropanol~~, and the catalytic hydrogenation ~~employs conditions employ~~ Pd(OH)₂ for a catalyst.

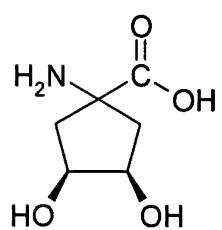
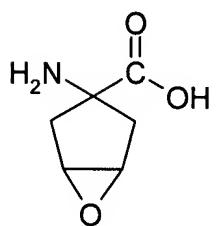
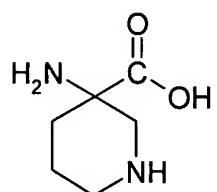
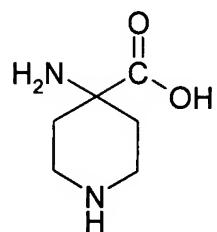
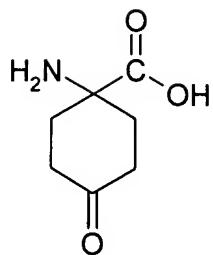
10. (Currently Amended) The method of claim 5, further comprising ~~the step of where step (ii) comprises that the aryl amine hydrolysis and the amide cleavage/hydrolysis are followed by an amine protection reaction to place attaching at least one amine protecting group on the N amine of Formula 1.~~

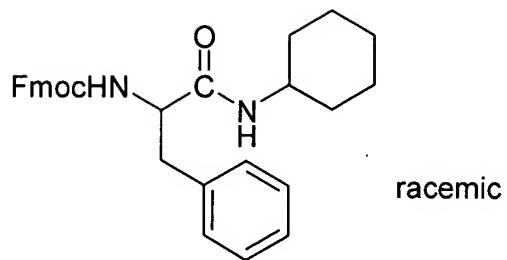
11. (Canceled).

12. (Original) The method of claim 5, where Formula 1 comprises a compound selected from the group consisting of:

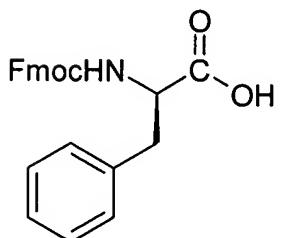
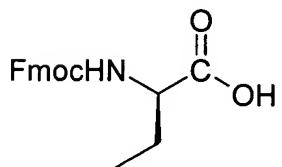
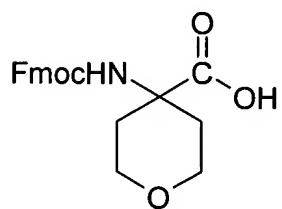
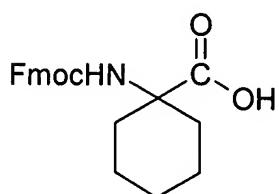


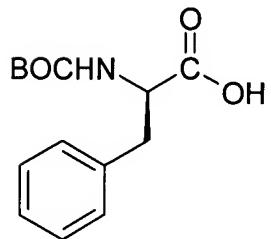
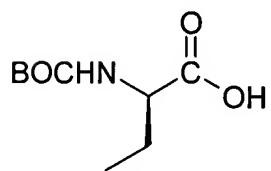
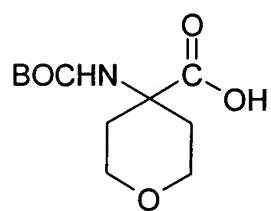
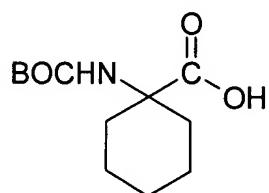
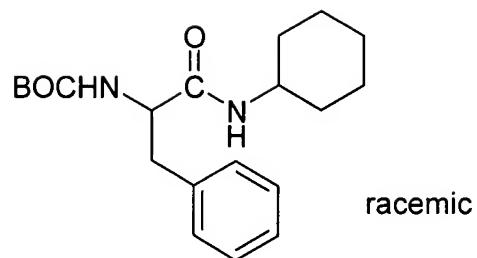






racemic





and

